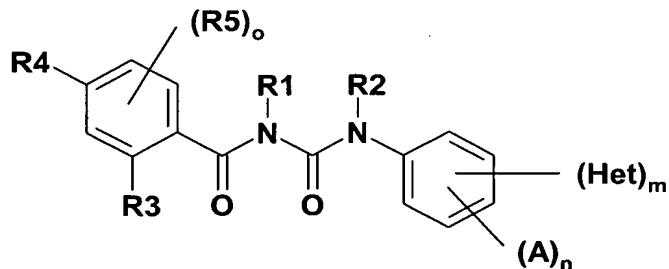


We claim:

1. A compound of the formula I,



5

wherein

10 R1 and R2 are each independently H, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl is optionally substituted by OH, O-(C₁-C₄)-alkyl, NH₂, NH(C₁-C₄)-alkyl or N[(C₁-C₆)-alkyl]₂;

15 R3 and R4 are each independently F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

20 R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

25

A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR₆, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;

15 R6 is H, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-CONH₂, (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl or CO-heteroaryl, wherein said (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH and (C₁-C₆)-alkylene-CONH₂ are optionally mono- or polysubstituted by F, Cl, Br, O(C₁-C₄-alkyl), COO-(C₁-C₄-alkyl) or N-[(C₁-C₄)-alkyl]₂ and said (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl and CO-heteroaryl are optionally mono- or polysubstituted by F, Cl, Br, NO₂, CN, O-(C₁-C₄-alkyl), S-COO(C₁-C₄-alkyl), COO-(C₁-C₄-alkyl), N-[(C₁-C₄)-alkyl]₂ or (C₁-C₆)-alkyl;

20 n is 0, 1, 2 or 3;

25

30

m is 1, 2, 3, 4 or 5;

o is 0, 1, 2 or 3;

5 Het is a heterocyclic 4- to 7-membered ring which may contain up to four N, O or S heteroatoms and wherein said heterocyclic 4- to 7-membered ring is optionally substituted by R7, R8 and R9, with the proviso that said heterocyclic 4- to 7- membered ring cannot be pyrrole; and

10

R7, R8, and R9 are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-

15

C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-

20

C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl;

and two radicals selected from said R7, R8 and R9 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring;

25

and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 wherein

30 R1 and R2 are H;

R3 and R4 are each independently F, Cl or Br;

5 R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

10 A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR6, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;

15 R6 is H, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-CONH₂, (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl or CO-heteroaryl, wherein said (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH and (C₁-C₆)-alkylene-CONH₂ are optionally mono- or polysubstituted by F, Cl, Br, O-(C₁-C₄)-alkyl, COO-(C₁-C₄-

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30

alkyl), or N-[(C₁-C₄)-alkyl]₂, and said (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl and CO-heteroaryl are optionally mono- or polysubstituted by F, Cl, Br, NO₂, CN, O-(C₁-C₄-alkyl), COO-(C₁-C₄-alkyl), S-COO(C₁-C₄-alkyl), N-[(C₁-C₄)-alkyl]₂ or (C₁-C₆)-alkyl;

5

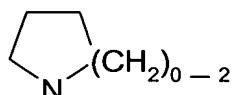
n is 0, 1 or 2;

m is 1;

10

o is 0 or 1;

Het is a heterocyclic 4- to 7-membered ring selected from triazolyl, tetrazolyl, oxadiazolyl, pyrazolyl, benzimidazolyl, furyl, triazinyl or



15

wherein said heterocyclic 4- to 7-membered ring is optionally substituted by R7, R8 and R9; and

R7, R8, and R9 are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl;

20

25

30

and two radicals selected from said R7, R8 and R9 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring;

and pharmaceutically acceptable salts thereof.

3. The compound of Claim 2 wherein

5

R1 and R2 are H;

R3 and R4 are each independently F, Cl or Br;

10 R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or
15 polysubstituted by F, Cl or Br;

15

A is H, F, Cl, Br, (C₁-C₆)-alkyl, CF₃, OCF₃, NO₂, CN, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl or SO₂-(C₁-C₆)-alkyl;

20

n is 0, 1 or 2;

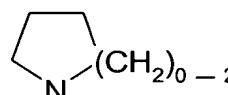
25

m is 1;

o is 0 or 1;

30

Het is a heterocyclic 4- to 7-membered ring group selected from triazolyl,

tetrazolyl, oxadiazolyl, furyl, triazinyl or , wherein said 4- to 7-membered heterocyclic ring is optionally substituted by R7, R8 and R9; and

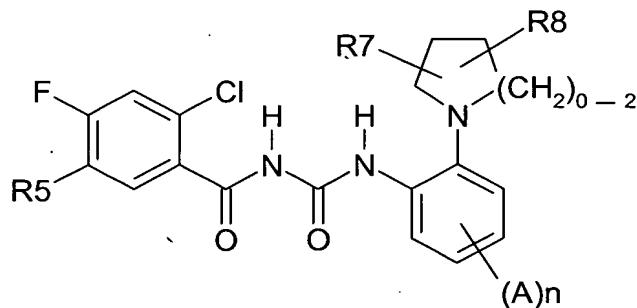
R7, R8, and R9 are each independently H, (C₁-C₆)-alkyl, OH, oxo, NH₂, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl or CON-[(C₁-C₆)-alkyl]₂, wherein said (C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl and CON-[(C₁-C₆)-alkyl]₂ are optionally substituted by COOH;

5

and pharmaceutically acceptable salts thereof.

4. The compound of Claim 1 wherein the compound has the structure Ia

10



Ia

wherein

15 R5 is H, F, Cl, Br, (C₁-C₆)-alkyl, CF₃, OCF₃, NO₂, CN, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl or SO₂-(C₁-C₆)-alkyl;

20 A is H, F, Cl, Br, (C₁-C₆)-alkyl, CF₃, OCF₃, NO₂, CN, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl or SO₂-(C₁-C₆)-alkyl;

25 R7 is H, (C₁-C₆)-alkyl, (C₀-C₆)-alkylene-aryl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or O-(C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, (C₀-C₆)-alkylene-aryl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and O-(C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

R8 is -(C=O)-X;

X is OH, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl or N-((C₁-C₆)-alkyl)₂;

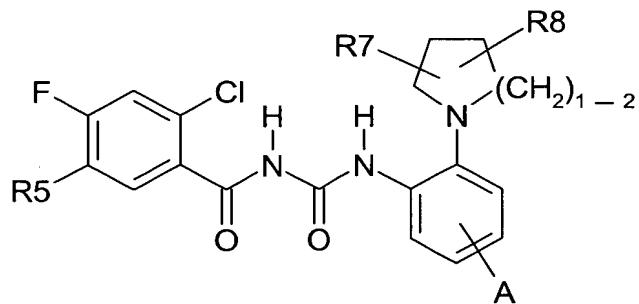
5

m is 1 or 2; and

n is 1 or 2;

10 and pharmaceutically acceptable salts thereof.

5. The compound of Claim 1 wherein the compound has the structure Iaa



Iaa

15 wherein

R5 is H or F;

A is H, F, Cl, (C₁-C₆)-alkyl, CF₃, COO-(C₁-C₆)-alkyl, COOH or SO₂-

20 (C₁-C₆)-alkyl;

R7 is H or phenyl;

R8 is -(C=O)-X; and

25

X is OH, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl or N-[(C₁-C₆)-alkyl]₂;

and pharmaceutically acceptable salts thereof.

6. A pharmaceutical composition comprising a pharmaceutically acceptable

5 carrier and one or more compounds of Claim 1.

7. A pharmaceutical composition comprising one or more compounds of Claim

1 and at least one further active ingredient.

10 8. The pharmaceutical composition of Claim 7, wherein said further active
ingredient is selected from the group consisting of:

antidiabetics, hypoglycemic active ingredients, HMG-CoA reductase inhibitors,
cholesterol absorption inhibitors, PPAR gamma agonists, PPAR alpha agonists,
PPAR alpha/gamma agonists, fibrates, MTP inhibitors, bile acid absorption

15 inhibitors, CETP inhibitors, polymeric bile acid adsorbents, LDL receptor inducers,
ACAT inhibitors, antioxidants, lipoprotein lipase inhibitors, ATP-citrate-lyase

inhibitors, squalene synthetase inhibitors, lipoprotein(a) antagonists, lipase

inhibitors, insulins, sulfonylureas, biguanides, meglitinides, thiazolidinediones, α -
glucosidase inhibitors, active ingredients acting on the ATP-dependent potassium

20 channel of the beta cells, CART agonists, NPY agonists, MC4 agonists, orexin

agonists, H3 agonists, TNF agonists, CRF agonists, CRF BP antagonists,

urocortin agonists, β 3 agonists, MSH (melanocyte-stimulating hormone) agonists,

CCK agonists, serotonin reuptake inhibitors, mixed serotonergic and

noradrenergic compounds, 5HT agonists, bombesin agonists, galanin antagonists,

25 growth hormones, growth hormone-releasing compounds, TRH agonists,

uncoupling protein 2 or 3 modulators, leptin agonists, DA agonists (bromocriptine,

Doprexin), lipase/amylase inhibitors, PPAR modulators, RXR modulators or TR- β

agonists or amphetamines.

30 9. A method of reducing blood sugar comprising administering to a patient in

need thereof a therapeutically effective amount of a compound of Claim 1.

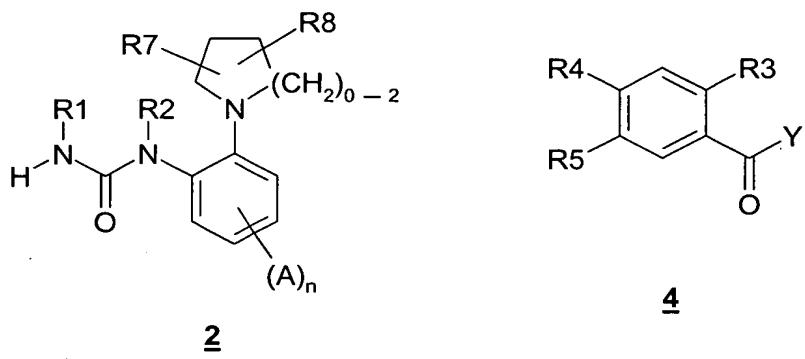
10. A method for treating lipid and carbohydrate metabolism disorders comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

5 11. A method for treating type 2 diabetes comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

12. A method for treating arteriosclerotic symptoms comprising administering to a patient in need thereof a therapeutically effective amount of a compound of
10 Claim 1.

13. A method for treating insulin resistance comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

15 14. A process for preparing a compound of Claim 1, which comprises reacting a urea of formula 2 with a compound of formula 4



wherein

20 R1 and R2 are each independently H, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl is optionally substituted by OH, O-(C₁-C₄)-alkyl, NH₂, NH(C₁-C₄)-alkyl or N[(C₁-C₆)-alkyl]₂;

R3 and R4 are each independently F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

5

R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

10

A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR6, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;

25

n is 0, 1, 2 or 3;

30

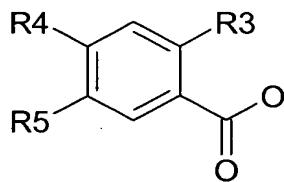
R7 and R8 are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-

(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl;

5 and said R7 and R8 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring; and

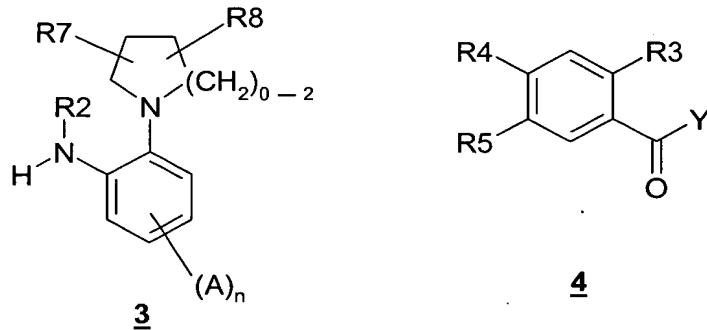
10

Y is Cl or



15

15. A process for preparing a compound of Claim 1, which comprises reacting an aniline derivative of formula 3 with a compound of formula 4



wherein

20 R2 is H, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl is optionally substituted by OH, O-(C₁-C₄)-alkyl, NH₂, NH(C₁-C₄)-alkyl or N[(C₁-C₆)-alkyl]₂;

R3 and R4 are each independently F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

5

R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

10

A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR6, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;

25

n is 0, 1, 2 or 3;

30

R7 and R8 are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-

(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl;

10 and said R7 and R8 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring; and

Y is -N=C=O.